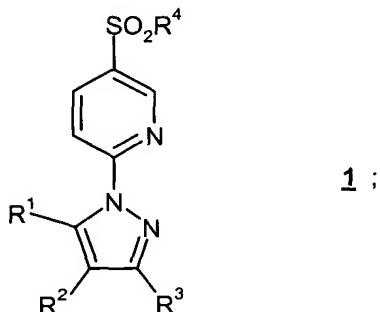


# CLAIMS

What is claimed is:

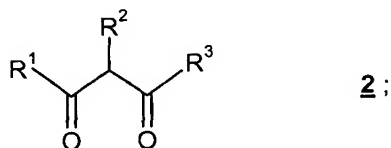
1. A process for preparing a compound of the formula 1



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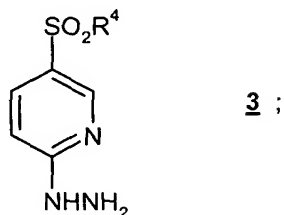
which comprises combining

- (a) a compound of formula 2



- (b) a compound of formula 3

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- (c) one or more acids;  
(d) one or more water-miscible organic solvents; and  
(e) water;

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wherein

R<sup>1</sup> is phenyl optionally substituted by 1-3 substituents independently selected from the group consisting of halo, hydroxy, cyano, mercapto, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -OCF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S(=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di[(C<sub>1</sub>-C<sub>6</sub>)alkyl]amino, H<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-NH-(C=O)- and formyl;

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R<sup>2</sup> is hydrogen, halo or (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>3</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three halo atoms; and

R<sup>4</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl.

2. The process of claim 1, wherein the one or more water-miscible organic solvents comprise one or more water-miscible alcohols.

3. The process of claim 2, wherein the one or more water-miscible alcohols contain from 1 to 12 carbon atoms.

5 4. The process of claim 3, wherein the one or more water-miscible alcohols are selected from the group consisting of methanol, ethanol, n-propanol, isopropanol, n-butanol, sec-butanol and tert-butanol.

5. The process of claim 4, wherein the water-miscible alcohol is ethanol or isopropanol.

10 6. The process of claim 5, wherein the water-miscible alcohol is isopropanol.

7. The process of claim 1, wherein the one or more acids are selected from the group consisting of hydrochloric acid, acetic acid, trifluoroacetic acid, p-toluenesulfonic acid and sulfuric acid.

8. The process of claim 7, wherein the acid is sulfuric acid.

15 9. The process of claim 1, wherein (a) and (b) are combined in the presence of (e).

10. The process of claim 9, wherein (a) is combined with a mixture of at least (b) and (e).

20 11. The process of claim 10, wherein (a) is combined with a mixture of at least (b), (c) and (e).

12. The process of claim 11, wherein the mixture of (b), (c) and (e) is prepared by combining (b) with a mixture of at least (c) and (e).

13. The process of claim 10, wherein a mixture of at least (a) and (d) is combined with the mixture of at least (b) and (e).

25 14. The process of claim 13, wherein a mixture of (a) and (d) is combined with a mixture of (b), (c) and (e).

15. The process of claim 1, wherein (a) and (b) are combined before the addition of (e).

30 16. The process of claim 15, wherein (a), (b) and (d) are combined before the addition of (e).

17. The process of claim 15, wherein (a), (b) and (c) are combined before the addition of (e).

18. The process of claim 17, wherein (a), (b), (c) and (d) are combined before the addition of (e).

35 19. The process of claim 1, wherein the temperature is maintained at a temperature lower than the reflux temperature of the combination of (a), (b), (c) and (d).

20. The process of claim 1, wherein the temperature is maintained at a temperature lower than the reflux temperature of the combination of (a), (b), (c), (d) and (e).

21. The process of claim 1, which further comprises, after (a) and (b) are combined, maintaining the temperature below about 40°C until the amount of (b) has decreased to less than about 10% of its initial value.

22. The process of claim 21, which further comprises, after (b) has decreased to less than about 10% of its initial value, increasing the temperature to at least about 30°C.

23. The process of claim 22, which further comprises maintaining the temperature of at least about 30°C until the molar amount of the 5-hydroxy derivative of the compound of formula 1 is less than about 10% of the initial molar amount of (b).

24. The process of claim 1, which further comprises, after (a) and (b) are combined and after the amount of (b) has decreased to less than about 10% of its initial value, adding (e).

25. The process of claim 24, wherein (e) is added after the amount of (b) is less than about 5% of its initial value.

26. The process of claim 1, which further comprises neutralizing the acid after the formation of the compound of formula 1 is substantially complete.

27. The process of claim 1, which further comprises granulating the combination of (a), (b), (c), (d) and (e).

28. The process of claim 27, wherein the combination is granulated for at least about 2 hours.

29. The process of claim 1, wherein R<sup>1</sup> is unsubstituted phenyl and R<sup>2</sup> is hydrogen.

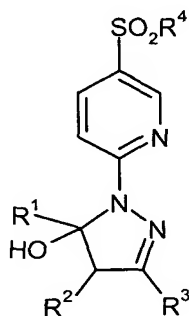
30. The process of claim 29, wherein R<sup>3</sup> is difluoromethyl or trifluoromethyl

31. The process of claim 30, wherein R<sup>4</sup> is methyl.

32. The process of claim 30, wherein R<sup>3</sup> is difluoromethyl.

33. The process of claim 1, wherein the molar amount of the compound of formula 2 is about the same as the molar amount of the compound of formula 3.

34. A compound of the formula 4:



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wherein

30 R<sup>1</sup> is phenyl optionally substituted by 1-3 substituents independently selected from the group consisting of halo, hydroxy, cyano, mercapto, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>1</sub>-

C<sub>6</sub>)alkoxy, -OCF<sub>3</sub>, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-S(=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, amino, (C<sub>1</sub>-C<sub>6</sub>)alkylamino, di[(C<sub>1</sub>-C<sub>6</sub>)alkyl]amino, H<sub>2</sub>N-(C=O)-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-NH-(C=O)- and formyl;

R<sup>2</sup> is hydrogen, halo or (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>3</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl optionally substituted with one to three halo atoms; and

R<sup>4</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl.